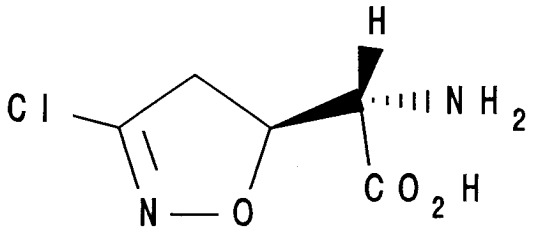
ACIVICIN

NSC - 163501

Acivicin is currently available from both the National Cancer Institute and from the Upjohn Company of Kalamazoo, Michigan for clinical trials sponsored by the Division of Cancer Treatment, National Cancer Institute. Information relevant to both products is provided below.



Chemical Name: α-Amino-3-chloro-4,5-dihydro-5-isoxazoleacetic acid, [S-(R*,R*)]-

Other Names: AT-125, U-42126, Acivicin (USAN)

CAS Registry Number: 42228-92-2

Molecular Formula: $C_5H_7ClN_2O_3$ **M.W.**: 178.6

How Supplied: **NSC - 603071** Injection, 5 mg, ampule: 5 mg/mL concentrate, 1 mL, in dimethylacetamide, 1 mL/amber ampule.

Special Diluent: **NSC - 651935** Vial: Polyethylene Glycol 400, 51%, with 0.01 Molar Phosphoric Acid Buffer, 49%, 49 mL/amber vial.

Solution Preparation: Add one milliliter of the 9-amino-camptothecin /dimethylacetamide concentrate (use a glass syringe) to the amber vial containing 49 milliliters of special diluent.

The resulting mixture contains 100 micrograms of 9-aminocamptothecin per milliliter in a 2% dimethylacetamide (v/v), 50% polyethylene glycol 400 (v/v), and 48% 0.01 M phosphoric acid (v/v) solution, 50 mL per vial. Filter the final solution through a 5 micron filter. Use within 28 hours.

Note: Contact of the undiluted 9-aminocamptothecin/ dimethylacetamide concentrate with plastic items, including filters and syringes, should be avoided.

Further dilution of the 100 mcg/mL solution with 0.9% Sodium Chloride Injection must be targeted to a concentration of $\leq$ one microgram/mL as precipitation will occur at higher concentrations. Dilutions containing 0.1 to 1 mcg/mL of 9-aminocamptothecin in 0.9% Sodium Chloride Injection are stable for 28 hours. Those in 5% Dextrose Injection are less stable; this should **not** be used. These solutions may be prepared in PVC or polyolefin containers.

Caution: 9-aminocamptothecin is intended for appropriate syringe pump administration only. The drug is **not compatible** with standard infusion fluids and therefore cannot be further diluted (except as noted in the previous paragraph) nor can it be piggybacked into an existing I.V. line port. Additional special diluent is available to facilitate larger doses and to flush central venous catheters to displace any aqueous solution present.

Storage: Store the intact ampules under refrigeration (2-8 °C).

Stability: Shelf-life surveillance of the ampules and vials is ongoing.

Constitution as recommended results in a solution which is chemically and physically stable in the amber diluent vial for at least 28 hours stored at refrigerated temperature (2-8°C).

Route of Administration: Intravenous